

In Vitro Assays – Biochemical Assay Development & Application

Assay at both molecular & cellular levels

- Focus on structure-activity relationship (SAR) profiling to support lead optimization
- Potency measurement (IC_{50} , K_i)
- Compound characterization (mechanism of inhibition/MOI, including covalent inhibitors-reversibility, time-dependent inhibition/TDI, k_{inact}/K_I analysis)
- With strong experience in developing assays and servicing clients

State-of-the-art automation systems for compound delivery & assay management

Currently, 200+ assays available (TR-FRET-based activity assays mainly)

Kinase Targets

Tyrosine kinase

ABL1	ABL1 T315I	ALK	ALK C1156Y	ALK G1202R	ALK L1196M	AXL	BMX	BTK	BTK C481S	CSF1R	DDR1	DDR2
EGFR	EGFR C797S	EGFR C797S T790M d746-750	EGFR C797S T790M L858R	EGFR d746-750	EGFR d746-750/T790M	EGFR INS A763-FHEA-Y764	EGFR L858R	EGFR L858R/T790M	EGFR T790M	ERBB2	ERBB2 (HER2) A775_G776 insYVMA	ERBB2 (HER2) D769H
ERBB2 D769Y	ERBB2 G776VC	ERBB2 P780ins GSP	ERBB2 V777L	ERBB4	EPHA2	EPHB2	FGFR1	FGFR1 V561M	FGFR2	FGFR2 N549H	FGFR2 V564F	FGFR2 V564I
FGFR3	FGFR3 V555M	FGFR4	FLT3	FLT3-ITD	FLT3-ITD-NPOS	FLT3-ITD-F691L	FLT3 D835Y	HCK	INSR	ITK	JAK1	JAK1 JH2
JAK2 JH1	JAK2 JH1 JH2	JAK2 JH2	JAK2 V617F JH1 JH2	JAK3	TYK2 JH1	TYK2 JH2	KIT	KIT D816V	KIT V560G D816V	LCK	LYN	MERTK
MET	MET D1228N	NTRK1	NTRK1 G595R	NTRK1 G667C	NTRK2	NTRK3	NTRK G623R	NTRK G696A	PDGFRA	PDGFRB	PTK2 (FAK)	PTK2B
PTK6	RET	RET V804L	RET V804M	ROS1	ROS1 G2302R	SRC	SRMS	SYK	TEC	TEK	TYRO3	FLT1
KDR	FLT4											

Ser/Thr kinase

ACVR1/ALK2	ACVR1B/ALK4	AKT1	AKT1 E17K	AKT2	AKT3	AURKA	AURKB	ATM	ATR	BRAF	BRAF V600E	CAMK2A
CAMK2B	CDC7/DBF4	CDK1/cyclin B	CDK2/cyclin A	CDK2/cyclin E	CDK4/cyclin D1	CDK5/p25	CDK6/cyclin D1	CDK7/cyclin H/MNAT1	CDK8/cyclin C	CDK9/cyclin T1	CHEK1	CHEK2
CRAF	CRAF Y340D Y341D	CSNK1A1	CSNK2A1	DYRK1A	DYRK3	GSK3A	GSK3B	IRAK1	IRAK4	LATS1	LATS2	LRRK2
LRRK2 G2019S	MAPK1	MAPK8	MAPK14	MAP2K1	MAP2K1	MAP3K5	MAP3K7	MAP4K1	MAP4K2	MAP4K3	MAP4K4	MAP4K5
MAPKAPK2	MINK1	MTOR	NEK7	PDPK1	PKMYT1	PLK1	PLK2	PRKACA	PRKCB	PRKDC	RIPK1	RIPK2
ROCK1	ROCK2	SLK	STK26	TAOK2	TNIK	TTK	ULK1	ULK2	ULK3	WEE1	WEE2	BRD2-BD1
BRD2-BD2	BRD3-BD1	BRD4-BD1	BRD4-BD2	BRD4-BD1	BRD4-BD2	BRD4-BD1						

Lipid kinase

PIK3CA	PIK3CA E542K	PIK3CA E545K	PIK3CA H1047R	PIK3CB	PIK3CD	PIK3CG
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■ Assay development under appropriate conditions

- Catalytic assay at steady-state kinetics ($[E] \ll [S]$, <10% conversion)
- Binding assay at equilibrium

■ Assay development following industrial standards

- Enzyme/substrate titration
- Measurement of key constants (K_m , K_d)
- Assay condition optimization
- Assay quality assessment (S/B, Z')
- Assay validation using tool compounds

Non-Kinase Targets

■ Hydrolase

BLM	WRN	RECQL	RECQL4	RECQL5	FANCM	HDAC1	HDAC2	HDAC3	HDAC6	HDAC8	ENPP2	ENTPD1
NT5E	GLS	KRAS	KRAS G12C	KRAS G12D	KRAS G12V	KRAS G12R	HRAS	NRAS	PPM1D	PTPN1	PTPN2	PTPN11
PTPN11 D61G	PTPN11 E76K	PTPRB	PTPRJ	UCHL1	USP1	USP1	USP2	USP3	USP4	USP5	USP6	USP7
USP8	USP9X	USP10	USP21	USP28	USP30	CYLD	MMP1	MMP2	MMP7	MMP8	MMP9	MMP10
MMP13	MMP14	MMP15	MMP16	hTACE	rTACE	DPP3	DPP4	DPP7	DPP8	DPP9	ELANE	CTRC
hTrypsin	F9	F10	PSMB1	PSMB2	PSMB5	PSMB8	PSMB9	PSMB10	C1R	C1S	CFB	MASP1
MASP2	FAP	PLA2G15										

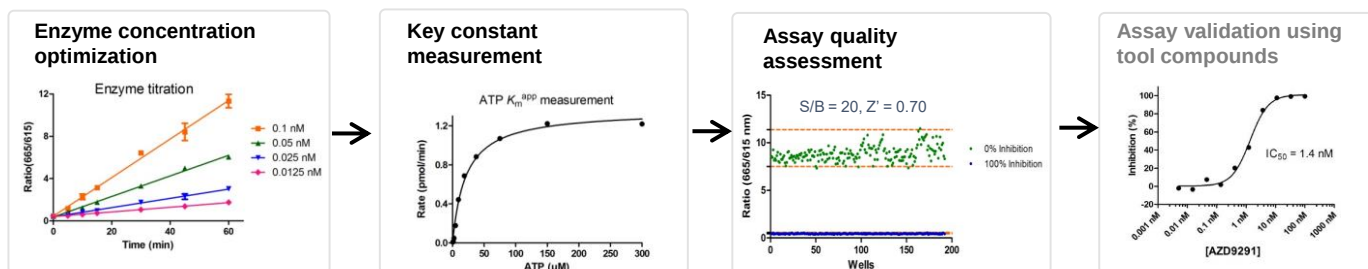
■ Transferase

POLQ ATPase	POLQ polymerase	PARP1	PARP2	TIPARP	ATP13A2	DOT1L	EHMT1	EHMT2	EZH1	EZH2	PRMT3	CARM1
CARM1	PRMT5	PRMT5-MTA	PRMT6	PRMT7	PRMT8	PRMT9	SETD2	SETD7	SETDB1	SETDB2	SMYD2	SMYD3
NSD2	SUV39H1	SUV39H2	MECOM	PRDM16	DNMT1	WDR5	hFXIII-A2	FN3K	GALK1	GALK2	Galk2	GCK
LCAT	NAMPT	QPCT & QPCTL	TGM1	TGM2	Tgm2	TGM3	TGM6					

■ Others

AKR1C3	HPGD (15-PGDH)	DHODH	TOP1	TOP2	SMARCA2 (BRM)	SMARCA4 (BRG1)	NLRP3	KDM1A	KDM3B	KDM6A	MEN1 (Menin)-MLL	MEN1 M327V
MEN1 M327I	MEN1 S160C	MEN1 T349M	MEN1 G331D	MEN1 G331R	MEN1 S160T	IDO	PD1/PD-L1	SOS1	CRBN	VHL	BCL2L1	AR-V7
TEAD1	TEAD2	TEAD3	TEAD4	KEAP1	PCSK9							

■ Validation package



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